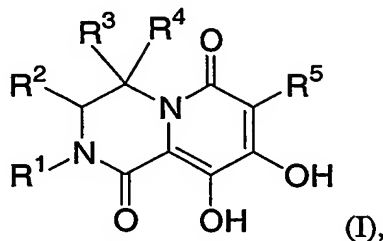


## WHAT IS CLAIMED IS:

1. A compound of Formula (I), or a pharmaceutically acceptable salt thereof:



wherein

R<sup>1</sup> is C<sub>1-6</sub> alkyl which is substituted with 1 or 2 substituents each of which is independently:

- (1) C<sub>3-8</sub> cycloalkyl,
- (2) aryl,
- (3) a 5- or 6-membered saturated or mono-unsaturated heterocyclic ring containing from 1 to 4 heteroatoms independently selected from N, O and S,
- (4) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, or
- (5) a 9- or 10-membered fused bicyclic heterocycle containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein at least one of the rings is aromatic;

wherein

- (A) each cycloalkyl is optionally substituted with from 1 to 3 substituents, each of which is independently halo, -C<sub>1-6</sub> alkyl, or -O-C<sub>1-6</sub> alkyl;
- (B) each aryl is optionally substituted with from 1 to 5 substituents each of which is independently

- (1) -C<sub>1-6</sub> alkyl, optionally substituted with from 1 to 3 substituents each of which is independently -OH, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, -CN, -NO<sub>2</sub>, -N(R<sup>a</sup>R<sup>b</sup>), -C(=O)N(R<sup>a</sup>R<sup>b</sup>), -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>c</sup>, -S(O)<sub>n</sub>R<sup>c</sup>, -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -N(R<sup>a</sup>)C(=O)R<sup>b</sup>, -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>c</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>c</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -OC(=O)N(R<sup>a</sup>R<sup>b</sup>), or -N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>R<sup>b</sup>),

- 5 (2) -O-C<sub>1-6</sub> alkyl, optionally substituted with from 1 to 3 substituents each of which is independently -OH, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, -S(O)<sub>n</sub>R<sup>c</sup>, -C(=O)N(R<sup>a</sup>R<sup>b</sup>), -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -N(R<sup>a</sup>)C(=O)R<sup>b</sup>, -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>c</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>c</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -OC(=O)N(R<sup>a</sup>R<sup>b</sup>), or -N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>R<sup>b</sup>),
- 10 (3) -C<sub>1-6</sub> haloalkyl,
- (4) -O-C<sub>1-6</sub> haloalkyl,
- (5) -OH,
- (6) halo,
- (7) -CN,
- (8) -NO<sub>2</sub>,
- (9) -N(R<sup>a</sup>R<sup>b</sup>),
- (10) -C(=O)N(R<sup>a</sup>R<sup>b</sup>),
- 15 (11) -C(=O)R<sup>a</sup>,
- (12) -CO<sub>2</sub>R<sup>c</sup>,
- (13) -SR<sup>c</sup>,
- (14) -S(=O)R<sup>c</sup>,
- (15) -SO<sub>2</sub>R<sup>c</sup>,
- 20 (16) -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>c</sup>,
- (17) -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>),
- (18) -N(R<sup>a</sup>)C(=O)R<sup>b</sup>,
- (19) -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>c</sup>, or
- (20) phenyl;
- 25 (C) each saturated or mono-unsaturated heterocyclic ring is
- (i) optionally substituted with from 1 to 5 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or oxo; and
- 30 (ii) optionally substituted with 1 or 2 substituents each of which is independently aryl or a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; and
- (D) each heteroaromatic ring or each fused bicyclic heterocycle is
- 35 (i) optionally substituted with from 1 to 7 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub>

haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or oxo;

and

- (ii) optionally substituted with 1 or 2 substituents each of which is independently aryl or -C<sub>1-6</sub> alkyl-aryl;

5

R<sup>2</sup> is -H or -C<sub>1-6</sub> alkyl;

R<sup>3</sup> is -H, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, or -C<sub>1-6</sub> alkyl substituted with one of -OH,

-O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, -CN, -NO<sub>2</sub>, -N(R<sup>a</sup>R<sup>b</sup>), -C(=O)N(R<sup>a</sup>R<sup>b</sup>),

- 10 -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>c</sup>, -S(O)<sub>n</sub>R<sup>c</sup>, -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -N(R<sup>a</sup>)C(=O)R<sup>b</sup>, -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>c</sup>,  
-N(R<sup>a</sup>)SO<sub>2</sub>R<sup>c</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -OC(=O)N(R<sup>a</sup>R<sup>b</sup>), or -N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>R<sup>b</sup>);

R<sup>4</sup> is:

- 15 (1) -H,  
(2) -C<sub>1-6</sub> alkyl optionally substituted with one of -OH, -O-C<sub>1-6</sub> alkyl,  
-O-C<sub>1-6</sub> haloalkyl, -CN, -NO<sub>2</sub>, -N(R<sup>a</sup>R<sup>b</sup>), -C(=O)N(R<sup>a</sup>R<sup>b</sup>),  
-C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>c</sup>, -S(O)<sub>n</sub>R<sup>c</sup>, -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -N(R<sup>a</sup>)-C(R<sup>b</sup>)=O,  
-N(R<sup>a</sup>)SO<sub>2</sub>R<sup>c</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -OC(=O)N(R<sup>a</sup>R<sup>b</sup>),  
-N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>R<sup>b</sup>), -O-C<sub>1-6</sub> alkyl-C(=O)N(R<sup>a</sup>R<sup>b</sup>), -S-C<sub>1-6</sub>  
20 alkyl-C(=O)N(R<sup>a</sup>R<sup>b</sup>), -N(R<sup>a</sup>)-C<sub>1-6</sub> alkyl-C(=O)N(R<sup>a</sup>R<sup>b</sup>), or  
-N(SO<sub>2</sub>R<sup>c</sup>)-C<sub>1-6</sub> alkyl-C(=O)N(R<sup>a</sup>R<sup>b</sup>),  
(3) -C<sub>1-6</sub> haloalkyl,  
(4) -C(=O)R<sup>a</sup>,  
(5) -CO<sub>2</sub>R<sup>c</sup>,  
25 (6) -C(=O)N(R<sup>a</sup>R<sup>b</sup>),  
(7) -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>),  
(8) -C<sub>2-6</sub> alkenyl,  
(9) -C<sub>2-6</sub> alkenyl-C(=O)-N(R<sup>a</sup>)<sub>2</sub>,  
(10) -C<sub>2-5</sub> alkynyl,  
30 (11) -C<sub>2-5</sub> alkynyl-CH<sub>2</sub>N(R<sup>a</sup>)<sub>2</sub>,  
(12) -C<sub>2-5</sub> alkynyl-CH<sub>2</sub>OR<sup>a</sup>,  
(13) -C<sub>2-5</sub> alkynyl-CH<sub>2</sub>S(O)<sub>n</sub>R<sup>c</sup>, or  
(14) -R<sup>k</sup>,  
(15) -C<sub>1-6</sub> alkyl substituted with R<sup>k</sup>,  
35 (16) -C<sub>1-6</sub> haloalkyl substituted with R<sup>k</sup>,  
(17) -C<sub>1-6</sub> alkyl-O-R<sup>k</sup>,

- (18) -C<sub>1-6</sub> alkyl-O-C<sub>1-6</sub> alkyl-R<sup>k</sup>,  
 (19) -C<sub>1-6</sub> alkyl-S(O)<sub>n</sub>-R<sup>k</sup>,  
 (20) -C<sub>1-6</sub> alkyl-S(O)<sub>n</sub>-C<sub>1-6</sub> alkyl-R<sup>k</sup>,  
 (21) -C<sub>1-6</sub> alkyl-N(R<sup>a</sup>)-R<sup>k</sup>,  
 5 (22) -C<sub>1-6</sub> alkyl-N(R<sup>a</sup>)-C<sub>1-6</sub> alkyl-R<sup>k</sup>,  
 (23) -C<sub>1-6</sub> alkyl-N(R<sup>a</sup>)-C<sub>1-6</sub> alkyl-OR<sup>k</sup>, with the proviso that the -N(R<sup>a</sup>)-moiety and the -OR<sup>k</sup> moiety are not both attached to the same carbon of the -C<sub>1-6</sub> alkyl- moiety,  
 (24) -C<sub>1-6</sub> alkyl-C(=O)-R<sup>k</sup>,  
 10 (25) -C<sub>1-6</sub> alkyl-C(=O)N(R<sup>a</sup>)-R<sup>k</sup>,  
 (26) -C<sub>1-6</sub> alkyl-N(R<sup>a</sup>)C(=O)-R<sup>k</sup>,  
 (27) -C<sub>1-6</sub> alkyl-C(=O)N(R<sup>a</sup>)-C<sub>1-6</sub> alkyl-R<sup>k</sup>, or  
 (28) -C<sub>1-6</sub> alkyl-N(R<sup>a</sup>)-C<sub>0-6</sub> alkyl-S(O)<sub>n</sub>R<sup>k</sup>;  
 wherein R<sup>k</sup> is  
 15 (i) aryl, which is optionally substituted with from 1 to 5 substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> alkyl-OH, -C<sub>1-6</sub> alkyl-O-C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> alkyl-O-C<sub>1-6</sub> haloalkyl, -C<sub>1-6</sub> alkyl-N(R<sup>a</sup>R<sup>b</sup>), -C<sub>1-6</sub> alkyl-C(=O)N(R<sup>a</sup>R<sup>b</sup>), -C<sub>1-6</sub> alkyl-C(=O)R<sup>a</sup>, -C<sub>1-6</sub> alkyl-CO<sub>2</sub>R<sup>c</sup>, -C<sub>1-6</sub>  
 20 alkyl-S(O)<sub>n</sub>R<sup>c</sup>, -O-C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> haloalkyl, -OH, halo, -N(R<sup>a</sup>R<sup>b</sup>), -C(=O)N(R<sup>a</sup>R<sup>b</sup>), -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>c</sup>, -S(O)<sub>n</sub>R<sup>c</sup>, or -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>);  
 (ii) a 4- to 7-membered saturated or mono-unsaturated heterocyclic ring containing at least one carbon atom and from 1 to 4  
 25 heteroatoms independently selected from N, O and S, wherein the heterocyclic ring is:  
 (a) optionally substituted with from 1 to 5 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or oxo;  
 30 and  
 (b) optionally mono-substituted with aryl or HetA;  
 wherein HetA is a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally fused with a benzene ring, and HetA is optionally substituted with from 1 to 4  
 35

substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or oxo; or

- (iii) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or oxo;

or alternatively R<sup>3</sup> and R<sup>4</sup> are joined together to form C<sub>5-8</sub> cycloalkyl or a 5- to 7-membered saturated heterocyclic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein

the cycloalkyl is optionally substituted with from 1 to 3 substituents each of which is independently halo, -C<sub>1-6</sub> alkyl, or -O-C<sub>1-6</sub> alkyl; and

the heterocyclic ring is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or oxo;

or alternatively:

(i) R<sup>2</sup> and R<sup>3</sup> together form a direct bond to give a ring double bond, and R<sup>4</sup> is an independent group as defined above;

(ii) R<sup>2</sup> and R<sup>3</sup> together with the ring carbon atoms to which they are attached form a fused cyclopropyl ring which is optionally substituted at the non-fused cyclopropyl ring carbon with -OR<sup>d</sup>, and R<sup>4</sup> is -H; or

(iii) R<sup>2</sup> and R<sup>3</sup> together with the ring carbon atoms to which they are attached form a fused phenyl ring or a fused pyridyl ring, and R<sup>4</sup> is absent;

R<sup>5</sup> is:

- (1) -H,
- (2) -C<sub>1-6</sub> alkyl,
- (3) -C<sub>1-6</sub> alkyl-N(R<sup>a</sup>R<sup>b</sup>),
- (4) -C<sub>1-6</sub> alkyl-C(=O)N(R<sup>a</sup>R<sup>b</sup>),
- (5) -C<sub>1-6</sub> alkyl-C(=O)R<sup>a</sup>,
- (6) -C<sub>1-6</sub> alkyl-CO<sub>2</sub>R<sup>c</sup>,
- (7) -C<sub>1-6</sub> alkyl-SR<sup>c</sup>,

- (8) -C<sub>1-6</sub> alkyl-S(=O)R<sup>c</sup>,  
 (9) -C<sub>1-6</sub> alkyl-SO<sub>2</sub>R<sup>c</sup>,  
 (10) -C<sub>1-6</sub> alkyl-SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>)  
 (11) -C<sub>1-6</sub> haloalkyl,  
 5 (12) -O-C<sub>1-6</sub> alkyl,  
 (13) -O-C<sub>1-6</sub> haloalkyl,  
 (14) halo,  
 (15) -CN,  
 (16) -C(=O)R<sup>a</sup>,  
 10 (17) -CO<sub>2</sub>R<sup>c</sup>,  
 (18) -SR<sup>c</sup>,  
 (19) -S(=O)R<sup>c</sup>,  
 (20) -SO<sub>2</sub>R<sup>c</sup>,  
 (21) -N(R<sup>a</sup>R<sup>b</sup>),  
 15 (22) -C(=O)N(R<sup>a</sup>R<sup>b</sup>), or  
 (23) -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>);  
 (24) aryl  
 (25) -C<sub>1-6</sub> alkyl-aryl  
 (26) HetB,  
 20 (27) -C<sub>1-6</sub> alkyl-HetB,  
 (28) HetC, or  
 (29) -C<sub>1-6</sub> alkyl-HetC,

wherein

25 HetB is a 5- or 6-membered saturated or mono-unsaturated ring  
 containing from 1 to 4 heteroatoms independently selected from N, O  
 and S, wherein the ring is optionally substituted with from 1 to 5  
 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl,  
 -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, oxo, -C(=O)-C<sub>1-6</sub>  
 alkyl, -C(=O)-C<sub>1-6</sub> haloalkyl, or -C<sub>1-6</sub> alkyl-C<sub>3-8</sub> cycloalkyl; and

30 HetC is a 5- or 6-membered heteroaromatic ring containing  
 from 1 to 4 heteroatoms independently selected from N, O and S,  
 wherein the heteroaromatic ring is optionally substituted with from 1 to  
 4 substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub>  
 haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or oxo;

35 each R<sup>a</sup> and R<sup>b</sup> is independently -H or -C<sub>1-6</sub> alkyl;

each R<sup>c</sup> is independently a -C<sub>1-6</sub> alkyl;

R<sup>d</sup> is a -C<sub>1-6</sub> alkyl, allyl, or benzyl; and

5

each n is independently an integer equal to 0, 1 or 2.

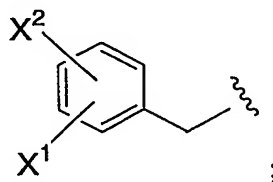
2. The compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein R<sup>1</sup> is -C<sub>1-4</sub> alkyl mono-substituted with aryl; wherein  
10 the aryl is optionally substituted with from 1 to 4 substituents each of which is independently

- (1) -C<sub>1-4</sub> alkyl, optionally mono-substituted with -OH, -O-C<sub>1-4</sub> alkyl, -O-C<sub>1-4</sub> haloalkyl, -CN, -N(R<sup>a</sup>R<sup>b</sup>), -C(=O)N(R<sup>a</sup>R<sup>b</sup>), -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>c</sup>, -S(O)<sub>n</sub>R<sup>c</sup>, -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -N(R<sup>a</sup>)C(=O)R<sup>b</sup>, -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>c</sup>,  
15 -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>c</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -OC(=O)N(R<sup>a</sup>R<sup>b</sup>), or -N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>R<sup>b</sup>),
  - (2) -O-C<sub>1-4</sub> alkyl, optionally mono-substituted with -OH, -O-C<sub>1-4</sub> alkyl, -O-C<sub>1-4</sub> haloalkyl, -S(O)<sub>n</sub>R<sup>c</sup>, -N(R<sup>a</sup>)-CO<sub>2</sub>R<sup>c</sup>, -C(=O)N(R<sup>a</sup>R<sup>b</sup>), -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -N(R<sup>a</sup>)C(=O)R<sup>b</sup>, -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>c</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>c</sup>,  
20 -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -OC(=O)N(R<sup>a</sup>R<sup>b</sup>), or -N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>R<sup>b</sup>),
  - (3) -C<sub>1-4</sub> haloalkyl,
  - (4) -O-C<sub>1-4</sub> haloalkyl,
  - (5) -OH,
  - (6) halo,
  - (7) -CN,
  - (8) -NO<sub>2</sub>,
  - (9) -N(R<sup>a</sup>R<sup>b</sup>),
  - (10) -SR<sup>c</sup>,
  - (11) -S(=O)R<sup>c</sup>,
  - (12) -SO<sub>2</sub>R<sup>c</sup>,
  - (13) -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>c</sup>,
  - (14) -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>),
  - (15) -N(R<sup>a</sup>)C(=O)R<sup>b</sup>,
  - (16) -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>c</sup>, or
  - (17) phenyl.
- 25  
30  
35

3. The compound according to claim 2, or a pharmaceutically acceptable salt thereof, wherein  $R^1$  is  $-(CH_2)_{1-4}$ -phenyl, wherein the phenyl is optionally substituted with from 1 to 3 substituents each of which is independently

- (1)  $-C_{1-4}$  alkyl, optionally mono-substituted with  $-OH$ ,  $-O-C_{1-4}$  alkyl,  $-O-C_{1-4}$  haloalkyl,  $-CN$ ,  $-N(R^aR^b)$ ,  $-C(=O)N(R^aR^b)$ ,  $-C(=O)R^a$ ,  $-CO_2R^c$ ,  $-S(O)_nR^c$ , or  $-SO_2N(R^aR^b)$ ,
- (2)  $-O-C_{1-4}$  alkyl,
- (3)  $-C_{1-4}$  haloalkyl,
- (4)  $-O-C_{1-4}$  haloalkyl,
- (5)  $-OH$ ,
- (6) halo,
- (7)  $-CN$ ,
- (8)  $-NO_2$ ,
- (9)  $-N(R^aR^b)$ ,
- (10)  $-SR^c$ ,
- (11)  $-S(=O)R^c$ ,
- (12)  $-SO_2R^c$ ,
- (13)  $-N(R^a)SO_2R^c$ ,
- (14)  $-SO_2N(R^aR^b)$ ,
- (15)  $-N(R^a)C(=O)R^b$ ,
- (16)  $-N(R^a)CO_2R^c$ , or
- (17) phenyl.

4. The compound according to claim 3, or a pharmaceutically acceptable salt thereof, wherein  $R^1$  is:



wherein  $X^1$  and  $X^2$  are each independently

- (1)  $-H$ ,
- (2) methyl,
- (3) ethyl,
- (4) methoxy,



- (5) ethoxy,
- (6) -CF<sub>3</sub>,
- (7) fluoro,
- (8) bromo,
- 5 (9) chloro,
- (10) -CN,
- (11) -S-CH<sub>3</sub>, or
- (12) phenyl.

10 5. The compound according to claim 4, or a pharmaceutically acceptable salt thereof, wherein R<sup>1</sup> is 4-fluorobenzyl.

6. The compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein

15

R<sup>2</sup> is -H or -C<sub>1-4</sub> alkyl;

R<sup>3</sup> is -H or -C<sub>1-4</sub> alkyl; and

20 R<sup>4</sup> is:

- (1) -H,
- (2) -C<sub>1-4</sub> alkyl optionally substituted with one of -OH, -O-C<sub>1-4</sub> alkyl, -O-C<sub>1-4</sub> haloalkyl, -CN, -N(R<sup>a</sup>R<sup>b</sup>), -C(=O)N(R<sup>a</sup>R<sup>b</sup>), -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>c</sup>, -S(O)<sub>n</sub>R<sup>c</sup>, -SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>), -N(R<sup>a</sup>)-C(R<sup>b</sup>)=O, -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>,  
25 or -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>),
- (3) -C(=O)N(R<sup>a</sup>R<sup>b</sup>),
- (4) -R<sup>k</sup>,
- (5) -C<sub>1-4</sub> alkyl substituted with R<sup>k</sup>,
- (6) -C<sub>1-4</sub> alkyl-O-R<sup>k</sup>, or
- 30 (7) -C<sub>1-4</sub> alkyl-O-C<sub>1-4</sub> alkyl-R<sup>k</sup>.

7. The compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein R<sup>5</sup> is:

- (1) -H,
- 35 (2) -C<sub>1-4</sub> alkyl,
- (3) -C<sub>1-4</sub> alkyl-N(R<sup>a</sup>R<sup>b</sup>),
- (4) -C<sub>1-4</sub> alkyl-C(=O)N(R<sup>a</sup>R<sup>b</sup>),

(5) -C<sub>1-4</sub> alkyl-SO<sub>2</sub>N(R<sup>a</sup>R<sup>b</sup>)

(6) -C<sub>1-4</sub> haloalkyl,

(7) halo,

(8) -CN,

5 (9) aryl

(10) -C<sub>1-4</sub> alkyl-aryl

(11) HetB,

(12) -C<sub>1-4</sub> alkyl-HetB,

(13) HetC, or

10 (14) -C<sub>1-4</sub> alkyl-HetC,

wherein

HetB is a 5- or 6-membered saturated ring containing a total of from 1 to 4 heteroatoms independently selected from 1 to 4 N atoms, from 0 to 2 O atoms, and from 0 to 2 S atoms, wherein the saturated  
15 ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-4</sub> alkyl, -C<sub>1-4</sub> haloalkyl, -O-C<sub>1-4</sub> alkyl, -O-C<sub>1-4</sub> haloalkyl, oxo, -C(=O)-C<sub>1-4</sub> alkyl, -C(=O)-C<sub>1-4</sub> haloalkyl, or -C<sub>1-4</sub> alkyl-C<sub>3-6</sub> cycloalkyl; and

HetC is a 5- or 6-membered heteroaromatic ring containing a total of from 1 to 4 heteroatoms independently selected from 1 to 4 N atoms, from 0 to 2 O atoms, and from 0 to 2 S atoms, wherein the  
20 heteroaromatic ring is optionally substituted with from 1 to 3 substituents each of which is independently -C<sub>1-4</sub> alkyl, -C<sub>1-4</sub> haloalkyl, -O-C<sub>1-4</sub> alkyl, -O-C<sub>1-4</sub> haloalkyl, or oxo.

25 8. The compound according to claim 7, or a pharmaceutically acceptable salt thereof, wherein R<sup>5</sup> is:

(1) -H,

(2) -C<sub>1-4</sub> alkyl,

30 (3) -C<sub>1-4</sub> alkyl-N(R<sup>a</sup>R<sup>b</sup>),

(4) halo,

(5) -CN, or

(6) -C<sub>1-4</sub> alkyl-HetB;

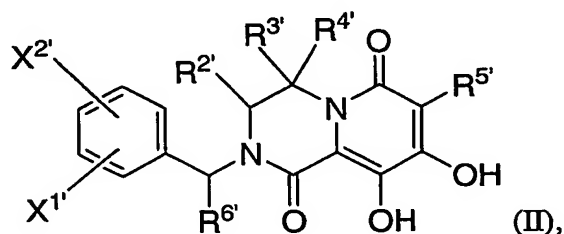
wherein

35 HetB is a 5- or 6-membered saturated ring containing 1 or 2 N atoms and carbon atoms, wherein the saturated ring is optionally

substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-4</sub> alkyl, -C<sub>1-4</sub> haloalkyl, -O-C<sub>1-4</sub> alkyl, -O-C<sub>1-4</sub> haloalkyl, oxo, -C(=O)-C<sub>1-4</sub> alkyl, -C(=O)-C<sub>1-4</sub> haloalkyl, or -C<sub>1-4</sub> alkyl-C<sub>3-6</sub> cycloalkyl.

5

9. A compound of Formula (II), or a pharmaceutically acceptable salt thereof:



wherein:

10

X<sup>1'</sup> and X<sup>2'</sup> are each independently:

- (1) -H,
- (2) C<sub>1-4</sub> alkyl,
- (2) -O-C<sub>1-4</sub> alkyl,
- 15 (3) -C<sub>1-4</sub> haloalkyl,
- (4) -O-C<sub>1-4</sub> haloalkyl,
- (5) halo,
- (6) -CN,
- (7) -S-C<sub>1-4</sub> alkyl, or
- 20 (8) phenyl;

R<sup>2'</sup> is -H or -C<sub>1-4</sub> alkyl;

R<sup>3'</sup> is -H or -C<sub>1-4</sub> alkyl;

25

R<sup>4'</sup> is:

- (1) -H,
- (2) -C<sub>1-4</sub> alkyl optionally substituted with one of -OH, -N(R<sup>a'</sup>R<sup>b'</sup>), or -C(=O)N(R<sup>a'</sup>R<sup>b'</sup>),
- (3) -C(=O)N(R<sup>a'</sup>R<sup>b'</sup>),
- 30 (4) -(CH<sub>2</sub>)<sub>1-3</sub>-R<sup>k'</sup>,

(5)  $-(\text{CH}_2)_{1-3}-\text{O}-\text{R}^{\text{k}'}$ , or

(6)  $-(\text{CH}_2)_{1-3}-\text{O}-(\text{CH}_2)_{1-3}-\text{R}^{\text{k}'}$ ;

wherein  $\text{R}^{\text{k}'}$  is:

- 5 (i) phenyl, which is optionally substituted with from 1 to 3 substituents each of which is independently  $-\text{C}_{1-4}$  alkyl,  $-\text{O}-\text{C}_{1-4}$  alkyl,  $-\text{C}_{1-4}$  haloalkyl,  $-\text{O}-\text{C}_{1-4}$  haloalkyl, or halo; or
- 10 (ii) HetD, wherein HetD is a 5- or 6-membered saturated ring containing 1 or 2 N atoms, 0 or 1 S atoms, and a balance of carbon atoms, wherein the saturated ring is optionally substituted with from 1 to 4 substituents each of which is independently halo,  $-\text{C}_{1-4}$  alkyl,  $-\text{C}_{1-4}$  haloalkyl,  $-\text{O}-\text{C}_{1-4}$  alkyl,  $-\text{O}-\text{C}_{1-4}$  haloalkyl, or oxo;

or alternatively:

- 15 (i)  $\text{R}^{2'}$  and  $\text{R}^{3'}$  together form a direct bond to give a ring double bond, and  $\text{R}^{4'}$  is an independent group as defined above;
- (ii)  $\text{R}^{2'}$  and  $\text{R}^{3'}$  together with the ring carbon atoms to which they are attached form a fused cyclopropyl ring which is optionally substituted at the non-fused cyclopropyl ring carbon with  $-\text{OR}^{\text{d}'}$ , and  $\text{R}^{4'}$  is  $-\text{H}$ ; or
- 20 (iii)  $\text{R}^{2'}$  and  $\text{R}^{3'}$  together with the ring carbon atoms to which they are attached form a fused phenyl ring or a fused pyridyl ring, and  $\text{R}^{4'}$  is absent;

$\text{R}^{5'}$  is:

- 25 (1)  $-\text{H}$ ,
- (2)  $-\text{C}_{1-4}$  alkyl,
- (3)  $-\text{C}_{1-4}$  alkyl- $\text{N}(\text{R}^{\text{a}'}\text{R}^{\text{b}'})$ ,
- (4) halo,
- (5)  $-\text{CN}$ , or
- (6)  $-(\text{CH}_2)_{1-3}-\text{HetB}$ ;

30 wherein

HetB is a 5- or 6-membered saturated ring containing 1 or 2 N atoms, zero or 1 O atom, zero or 1 S atom, and a balance of carbon atoms, wherein the saturated ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen,  $-\text{C}_{1-4}$  alkyl,  $-\text{C}_{1-4}$  haloalkyl,  $-\text{O}-\text{C}_{1-4}$  alkyl,  $-\text{O}-\text{C}_{1-4}$  haloalkyl, oxo,  $-\text{C}(=\text{O})-\text{C}_{1-4}$  alkyl,  $-\text{C}(=\text{O})-\text{C}_{1-4}$  haloalkyl, or  $-\text{C}_{1-4}$  alkyl- $\text{C}_{3-6}$  cycloalkyl;

35

R<sup>6'</sup> is -H or methyl;

each R<sup>a'</sup> and R<sup>b'</sup> is independently -H or -C<sub>1-4</sub> alkyl; and

5

R<sup>d'</sup> is -C<sub>1-4</sub> alkyl, allyl, or benzyl.

10. A compound according to claim 9, or a pharmaceutically acceptable salt thereof, wherein:

10

wherein X<sup>1'</sup> and X<sup>2'</sup> are each independently:

- (1) -H,
- (2) methyl,
- (2) -OCH<sub>3</sub>,
- 15 (3) -CF<sub>3</sub>,
- (4) -O-CF<sub>3</sub>,
- (5) chloro,
- (6) fluoro,
- (7) bromo;
- 20 (6) -CN,
- (7) -S-CH<sub>3</sub>, or
- (8) phenyl;

R<sup>2'</sup> is -H or methyl;

25

R<sup>3'</sup> is -H or methyl;

R<sup>4'</sup> is:

- (1) -H,
- 30 (2) methyl,
- (3) -CH<sub>2</sub>OH,
- (3) -C(=O)N(CH<sub>3</sub>)<sub>2</sub>,
- (4) -CH<sub>2</sub>-R<sup>k'</sup>, or
- (5) -CH<sub>2</sub>-O-CH<sub>2</sub>-R<sup>k'</sup>;
- 35 wherein R<sup>k'</sup> is:

- (i) phenyl, which is optionally substituted with from 1 to 3 substituents each of which is independently -CH<sub>3</sub>, -OCH<sub>3</sub>, -CF<sub>3</sub>, -OCF<sub>3</sub>, chloro, bromo or fluoro; or
- (ii) HetD, wherein HetD is a 5- or 6-membered saturated ring containing 1 or 2 N atoms, 0 or 1 S atoms, and a balance of carbon atoms, wherein the saturated ring is optionally substituted with from 1 to 4 substituents each of which is independently chloro, bromo, fluoro, -CH<sub>3</sub>, -CF<sub>3</sub>, -OCH<sub>3</sub>, -OCF<sub>3</sub>, or oxo;

or alternatively:

- (i) R<sup>2'</sup> and R<sup>3'</sup> together form a direct bond to give a ring double bond, and R<sup>4'</sup> is an independent group as defined above;
- (ii) R<sup>2'</sup> and R<sup>3'</sup> together with the ring carbon atoms to which they are attached form a fused cyclopropyl ring which is optionally substituted at the non-fused cyclopropyl ring carbon with -OMe, -OEt, -O-allyl, or -O-benzyl, and R<sup>4'</sup> is -H; or
- (iii) R<sup>2'</sup> and R<sup>3'</sup> together with the ring carbon atoms to which they are attached form a fused phenyl ring or a fused pyridyl ring, and R<sup>4'</sup> is absent;

R<sup>5'</sup> is:

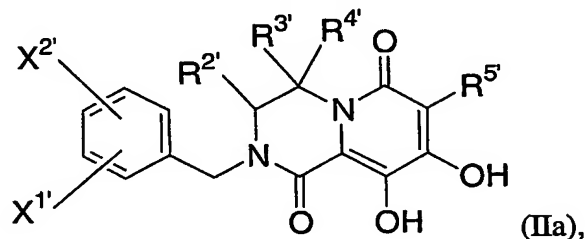
- (1) -H,
- (2) methyl,
- (3) -(CH<sub>2</sub>)<sub>1-2</sub>-N(CH<sub>3</sub>)<sub>2</sub>,
- (4) fluoro,
- (5) bromo,
- (6) iodo,
- (7) -CN, or
- (8) -CH<sub>2</sub>-HetB;

wherein

HetB is a 5- or 6-membered saturated ring containing 1 or 2 N atoms, zero or 1 O atom, zero or 1 S atom, and a balance of carbon atoms, wherein the saturated ring is optionally substituted with from 1 to 4 substituents each of which is independently chloro, bromo, fluoro, -CH<sub>3</sub>, -CF<sub>3</sub>, -OCH<sub>3</sub>, -OCF<sub>3</sub>, oxo, -C(=O)-CH<sub>3</sub>, -C(=O)-CF<sub>3</sub>, or -CH<sub>2</sub>-cyclopropyl; and

R<sup>6'</sup> is -H or methyl.

11. The compound according to claim 9, which is a compound of Formula (IIa), or a pharmaceutically acceptable salt thereof:



wherein:

X<sup>1'</sup> and X<sup>2'</sup> are each independently:

- (1) -H,
- (2) C<sub>1-4</sub> alkyl,
- (2) -O-C<sub>1-4</sub> alkyl,
- (3) -C<sub>1-4</sub> haloalkyl,
- (4) -O-C<sub>1-4</sub> haloalkyl, or
- (5) halo;

R<sup>2'</sup> is -H or -C<sub>1-4</sub> alkyl;

R<sup>3'</sup> is -H or -C<sub>1-4</sub> alkyl;

or alternatively R<sup>2'</sup> and R<sup>3'</sup> together form a direct bond to give a ring double bond;

R<sup>4'</sup> is:

- (1) -H,
- (2) -C<sub>1-4</sub> alkyl optionally substituted with one of -OH, -N(R<sup>a'</sup>R<sup>b'</sup>), or -C(=O)N(R<sup>a'</sup>R<sup>b'</sup>),
- (3) -C(=O)N(R<sup>a'</sup>R<sup>b'</sup>),
- (4) -(CH<sub>2</sub>)<sub>1-3</sub>-R<sup>k'</sup>,
- (5) -(CH<sub>2</sub>)<sub>1-3</sub>-O-R<sup>k'</sup>, or
- (6) -(CH<sub>2</sub>)<sub>1-3</sub>-O-(CH<sub>2</sub>)<sub>1-3</sub>-R<sup>k'</sup>;

wherein R<sup>k'</sup> is:

- (i) phenyl, which is optionally substituted with from 1 to 3 substituents each of which is independently -C<sub>1-4</sub> alkyl, -O-C<sub>1-4</sub> alkyl, -C<sub>1-4</sub> haloalkyl, -O-C<sub>1-4</sub> haloalkyl, or halo; or
- (ii) HetD, wherein HetD is a 5- or 6-membered saturated ring containing 1 or 2 N atoms, 0 or 1 S atoms, and a balance of carbon atoms, wherein the saturated ring is optionally substituted with from 1 to 4 substituents each of which is independently halo, -C<sub>1-4</sub> alkyl, -C<sub>1-4</sub> haloalkyl, -O-C<sub>1-4</sub> alkyl, -O-C<sub>1-4</sub> haloalkyl, or oxo;

R<sup>5'</sup> is:

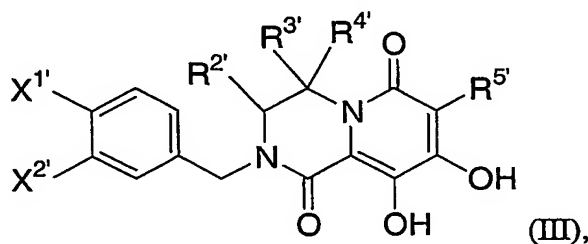
- (1) -H,
- (2) -C<sub>1-4</sub> alkyl,
- (3) -C<sub>1-4</sub> alkyl-N(R<sup>a'</sup>R<sup>b'</sup>),
- (4) halo,
- (5) -CN, or
- (6) -(CH<sub>2</sub>)<sub>1-3</sub>-HetB;

wherein

HetB is a 5- or 6-membered saturated ring containing 1 or 2 N atoms and carbon atoms, wherein the saturated ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-4</sub> alkyl, -C<sub>1-4</sub> haloalkyl, -O-C<sub>1-4</sub> alkyl, -O-C<sub>1-4</sub> haloalkyl, or oxo; and

each R<sup>a'</sup> and R<sup>b'</sup> is independently -H or -C<sub>1-4</sub> alkyl.

12. The compound according to claim 9, which is a compound of Formula (III), or a pharmaceutically acceptable salt thereof:



wherein:



X1' and X2' are each independently -H or halo.

13. The compound according to claim 12, or a pharmaceutically acceptable salt thereof,

wherein X1' and X2' are each independently -H, fluoro, chloro, or bromo;

R2' is -H or methyl;

R3' is -H or methyl;

R4' is:

- (1) -H,
- (2) methyl,
- (3) -CH<sub>2</sub>OH,
- (3) -C(=O)N(CH<sub>3</sub>)<sub>2</sub>,
- (4) -CH<sub>2</sub>-R<sup>k'</sup>, or
- (5) -CH<sub>2</sub>-O-CH<sub>2</sub>-R<sup>k'</sup>;

wherein R<sup>k'</sup> is:

- (i) phenyl, which is optionally substituted with from 1 to 3 substituents each of which is independently -CH<sub>3</sub>, -OCH<sub>3</sub>, -CF<sub>3</sub>, -OCF<sub>3</sub>, chloro, bromo or fluoro; or
- (ii) HetD, wherein HetD is a 5- or 6-membered saturated ring containing 1 or 2 N atoms, 0 or 1 S atoms, and a balance of carbon atoms, wherein the saturated ring is optionally substituted with from 1 to 4 substituents each of which is independently chloro, bromo, fluoro, -CH<sub>3</sub>, -CF<sub>3</sub>, -OCH<sub>3</sub>, -OCF<sub>3</sub>, or oxo; and

or alternatively:

- (i) R2' and R3' together form a direct bond to give a ring double bond, and R4' is an independent group as defined above;
- (ii) R2' and R3' together with the ring carbon atoms to which they are attached form a fused cyclopropyl ring which is optionally substituted at the non-fused cyclopropyl ring carbon with -ORD', and R4' is -H; or

(iii) R<sup>2'</sup> and R<sup>3'</sup> together with the ring carbon atoms to which they are attached form a fused phenyl ring or a fused pyridyl ring, and R<sup>4'</sup> is absent;

R<sup>5'</sup> is:

- 5 (1) -H,
- (2) methyl,
- (3) -(CH<sub>2</sub>)<sub>1-2</sub>-N(CH<sub>3</sub>)<sub>2</sub>,
- (4) fluoro,
- (5) bromo,
- 10 (6) iodo,
- (7) -CN, or
- (8) -CH<sub>2</sub>-HetB;

wherein

15 HetB is a 5- or 6-membered saturated ring containing 1 or 2 N atoms, zero or 1 O atom, zero or 1 S atom, and a balance of carbon atoms, wherein the saturated ring is optionally substituted with from 1 to 4 substituents each of which is independently chloro, bromo, fluoro, -CH<sub>3</sub>, -CF<sub>3</sub>, -OCH<sub>3</sub>, -OCF<sub>3</sub>, oxo, -C(=O)-CH<sub>3</sub>, -C(=O)-CF<sub>3</sub>, or -CH<sub>2</sub>-cyclopropyl.

20 14. The compound according to claim 13, or a pharmaceutically acceptable salt thereof, wherein X<sup>1'</sup> is fluoro and X<sup>2'</sup> is -H.

25 15. A compound selected from the group consisting of:

2-benzyl-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione;

2-(4-fluorobenzyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione;

30 2-(4-fluorobenzyl)-8,9-dihydroxy-7-methyl-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione;

2-(4-fluorobenzyl)-8,9-dihydroxy-7-bromo-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione;

35

2-(4-fluorobenzyl)-8,9-dihydroxy-7-iodo-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione;

2-(3-chlorobenzyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione;

5

2-(4-chlorobenzyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione

2-(3,4-dichlorobenzyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione;

10

2-(3,4-difluorobenzyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione

2-(3-chloro-4-fluorobenzyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione

15

2-(4-fluorobenzyl)-8,9-dihydroxy-7-(piperidin-1-ylmethyl)-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione;

20 2-(3-chloro-4-fluorobenzyl)-8,9-dihydroxy-7-(piperidin-1-ylmethyl)-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione;

2-(4-fluorobenzyl)-8,9-dihydroxy-7-[(dimethylamino)methyl]-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione;

25

2-(4-fluorobenzyl)-8,9-dihydroxy-2H-pyrido[1,2-*a*]pyrazine-1,6-dione

2-benzyl-8,9-dihydroxy-2H-pyrido[1,2-*a*]pyrazine-1,6-dione;

30 2-(4-fluorobenzyl)-8,9-dihydroxy-4-methyl-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione

2-(4-fluorobenzyl)-8,9-dihydroxy-4,4-dimethyl-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione;

35

2-(4-fluorobenzyl)-8,9-dihydroxy-3-methyl-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione;

2-(4-fluorobenzyl)-8,9-dihydroxy-1,6-dioxo-1,3,4,6-tetrahydro-2H-pyrido[1,2-a]-pyrazine-7-carbonitrile;

- 5 2-(4-fluorobenzyl)-8,9-dihydroxy-7-[(4-methyl-3-oxopiperazin-1-yl)methyl]-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,6-dione;

2-(4-fluorobenzyl)-8,9-dihydroxy-7-[(3-oxopiperazin-1-yl)methyl]-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,6-dione;

10

4-[(benzyloxy)methyl]-2-(4-fluorobenzyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,6-dione;

15

4-(hydroxymethyl)-2-(4-fluorobenzyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,6-dione;

4-[(1,1-dioxido-1,2-thiazinan-2-yl)methyl]-2-(4-fluorobenzyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,6-dione;

20

2-(4-fluorobenzyl)-8,9-dihydroxy-7-(piperidin-1-ylmethyl)-2H-pyrido[1,2-a]pyrazine-1,6-dione;

2-(4-fluorobenzyl)-8,9-dihydroxy-7-[(3-oxopiperazin-1-yl)methyl]-2H-pyrido[1,2-a]pyrazine-1,6-dione;

25

2-(4-fluorobenzyl)-8,9-dihydroxy-7-[(4-methyl-3-oxopiperazin-1-yl)methyl]-2H-pyrido[1,2-a]pyrazine-1,6-dione;

30

2-(4-fluorobenzyl)-8,9-dihydroxy-7-[(morpholin-4-yl)methyl]-2H-pyrido[1,2-a]pyrazine-1,6-dione;

2-(4-fluorobenzyl)-8,9-dihydroxy-7-[(thiomorpholin-4-yl)methyl]-2H-pyrido[1,2-a]pyrazine-1,6-dione;

35

2-[4-fluoro-2-(methylthio)benzyl]-8,9-dihydroxy-2H-pyrido[1,2-a]pyrazine-1,6-dione;

7-[(1-acetylpiperidin-4-yl)methyl]-2-(4-fluorobenzyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,6-dione;

5 2-(4-fluorobenzyl)-8,9-dihydroxy-7-{[1-(trifluoroacetyl)piperidin-4-yl]methyl}-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,6-dione;

7-{[1-(cyclopropylmethyl)piperidin-3-yl]methyl}-2-(4-fluorobenzyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,6-dione;

10 7-[(1-acetylpiperidin-3-yl)methyl]-2-(4-fluorobenzyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,6-dione;

7-[(1-acetylpiperidin-2-yl)methyl]-2-(4-fluorobenzyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,6-dione;

15 7-{[1-(cyclopropylmethyl)piperidin-2-yl]methyl}-2-(4-fluorobenzyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,6-dione;

2-(3-cyanobenzyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,6-dione

20 2-(biphenyl-3-ylmethyl)-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,6-dione

25 (±)-1-[(benzyloxy)methyl]-2-(4-fluorobenzyl)-4,5-dihydroxy-1,1a,2,8a-tetrahydrocyclo-propa[e]pyrido[1,2-a]pyrazine-3,7-dione;

(±)-1-(methoxymethyl)-2-(4-fluorobenzyl)-4,5-dihydroxy-1,1a,2,8a-tetrahydrocyclo-propa[e]pyrido[1,2-a]pyrazine-3,7-dione;

30 (±)-1-[(allyloxy)methyl]-2-(4-fluorobenzyl)-4,5-dihydroxy-1,1a,2,8a-tetrahydrocyclo-propa[e]pyrido[1,2-a]pyrazine-3,7-dione;

(±)-1-(ethoxymethyl)-2-(4-fluorobenzyl)-4,5-dihydroxy-1,1a,2,8a-tetrahydrocyclo-propa[e]pyrido[1,2-a]pyrazine-3,7-dione;

35 (±)-1-(n-propoxymethyl)-2-(4-fluorobenzyl)-4,5-dihydroxy-1,1a,2,8a-tetrahydrocyclo-propa[e]pyrido[1,2-a]pyrazine-3,7-dione;

2-[1-(4-fluorophenyl)ethyl]-8,9-dihydroxy-3,4-dihydro-2H-pyrido[1,2-*a*]pyrazine-1,6-dione;

5 5-(4-fluorobenzyl)-7,8-dihydroxy-5H-pyrido[1,2-*a*]quinoxaline-6,10-dione;

5-(4-fluorobenzyl)-7,8-dihydroxy-5H-pyrido[1,2-*a*:3',2'-*e*]pyrazine-6,10-dione;

5-(4-fluorobenzyl)-7,8-dihydroxy-5H-pyrido[1,2-*a*:2',3'-*e*]pyrazine-6,10-dione;

10

and pharmaceutically acceptable salts thereof.

16. A pharmaceutical composition comprising a therapeutically effective amount of a compound according to claim 1, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

15

17. A method of inhibiting HIV integrase in a subject in need thereof which comprises administering to the subject a therapeutically effective amount of the compound according to claim 1, or a pharmaceutically acceptable salt thereof.

20

18. A method for preventing or treating infection by HIV or for preventing, treating or delaying the onset of AIDS in a subject in need thereof which comprises administering to the subject a therapeutically effective amount of the compound according to claim 1, or a pharmaceutically acceptable salt thereof.

25

19. A pharmaceutical composition which comprises the product prepared by combining an effective amount of a compound according to claim 1, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

30

20. A combination useful for inhibiting HIV integrase, for treating or preventing infection by HIV, or for preventing, treating or delaying the onset of AIDS, which is a therapeutically effective amount of a compound according to claim 1, or a pharmaceutically acceptable salt thereof, and a therapeutically effective amount of an HIV infection/AIDS antiviral agent selected from the group consisting of HIV protease inhibitors, non-nucleoside HIV reverse transcriptase inhibitors and nucleoside HIV reverse transcriptase inhibitors.

35